(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 3 March 2005 (03.03.2005)

PCT

(10) International Publication Number WO 2005/019206 A1

- C07D 401/12. (51) International Patent Classification⁷: 401/14, 413/14, 417/14, A61K 31/454, 31/506, 31/497, A61P 35/00
- (21) International Application Number:

PCT/US2004/026012

- (22) International Filing Date: 11 August 2004 (11.08.2004)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/495,637 60/563,580 15 August 2003 (15.08.2003) US

- 19 April 2004 (19.04.2004) US
- (71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): COLEMAN, Paul, J. [US/US]: 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). COX, Christopher, D. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). GARBACCIO, Robert, M. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). HARTMAN, George, D. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

- (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- with amended claims

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: MITOTIC KINESIN INHIBITORS

$$(R^4)_n$$
 R^3
 R^5
 $(R^{11})_u$
 $(R^{10})_t$
 R^{12}
 R^{13}
 R^2
 R^{0x}

(57) Abstract: The present invention relates to dihydropyrrole compounds of Formula (I) that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compositions which comprise these compounds, and methods of using them to treat cancer in mammals.